

SEARCH REQUEST FORM

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Requester's Full Name: J. E. E. E. Examiner #: 1.785 Date: 12.0.02
 Art Unit: 1634 Phone Number 30 8.3475 Serial Number: 1634 8.3475
 Mail Box and Bldg/Room Location: _____ Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc. if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

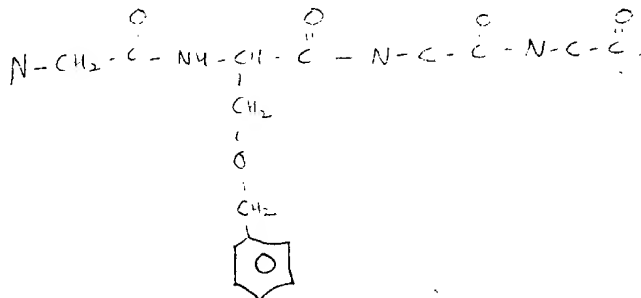
Title of Invention: Peptidase - Cleavable, Targeted Anticanceric Drugs And Their Therapeutic Uses

Inventors (please provide full names): Dr. R. Gopalan, C. Albright

Earliest Priority Filing Date: 2-15-2001

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Please search the following partial structure:



Edward Han
 Technical Info. Specialist
 STIC/Biotech
 CMI 6B02 Tel: 305-9203

If there are many hits, please use the keywords MMP, metalloproteinase, matrixin, stromelysin, gelatinase, conjugat?, linker, linking.

There is no need to broaden the search if you don't find any hits.

Thank you

JER

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Type of Search

Vendors and cost where applicable

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Searcher Phone #: _____	AA Sequence (#) _____	Dialog _____
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Date Completed: <u>12/12/02</u>	Litigation _____	Lexis/Nexis _____
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Online Time: _____	Other _____	Other (specify) _____

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FILE COVERS 1907 - 12 Dec 2002 VOL 137 ISS 24

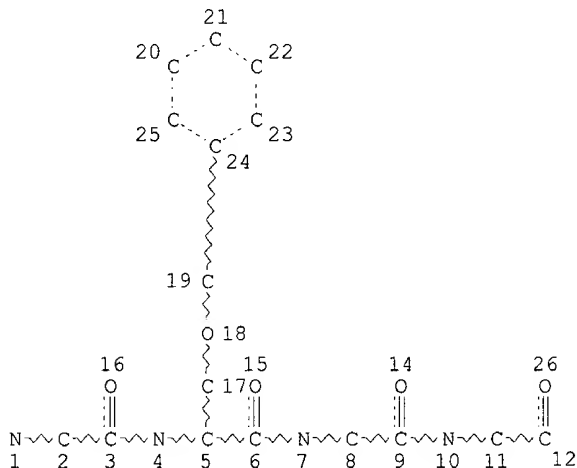
FILE LAST UPDATED: 11 Dec 2002 (20021211/ED)

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L1 STR



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 25

STEREO ATTRIBUTES: NONE

L3 4179 SEA FILE=REGISTRY SSS FUL L1

L4 1114 SEA FILE=HCAPLUS ABB=ON PLU=ON L3

L5 474 SEA FILE=REGISTRY ABB=ON PLU=ON MMP?
 L6 1316 SEA FILE=REGISTRY ABB=ON PLU=ON METALLOPROTE?
 L7 159 SEA FILE=REGISTRY ABB=ON PLU=ON STROMELYSIN/BI
 L8 149 SEA FILE=REGISTRY ABB=ON PLU=ON GELATINASE/BI
 L9 179969 SEA FILE=HCAPLUS ABB=ON PLU=ON L5 OR MMP
 L10 31893 SEA FILE=HCAPLUS ABB=ON PLU=ON L6 OR METALLOPROTE?
 L11 59 SEA FILE=HCAPLUS ABB=ON PLU=ON MATRIXIN
 L12 2634 SEA FILE=HCAPLUS ABB=ON PLU=ON L7 OR STROMELYSIN
 L13 6230 SEA FILE=HCAPLUS ABB=ON PLU=ON L8 OR GELATINASE
 L14 6 SEA FILE=HCAPLUS ABB=ON PLU=ON L4 AND (L9 OR L10 OR L11 OR
 L12 OR L13)
 L16 29 SEA FILE=HCAPLUS ABB=ON PLU=ON L4 (L) (CONJUGAT? OR LINK?)
 L17 34 SEA FILE=HCAPLUS ABB=ON PLU=ON L16 OR L14

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L17 ANSWER 1 OF 34 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:889545 HCAPLUS

TITLE: Method of treating cancer using conjugate of oligopeptide that is selectively cleaved by PSA and a cytotoxic agent in combination with radiation therapy
 INVENTOR(S): Yao, Sui-long; Jones, Raymond E.; Defeo-Jones, Deborah; Heimbroke, David C.; Rhymer, Patricia; Wasserbly, Pamela J.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 67 pp.
 CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002173451	A1	20021121	US 2001-969244	20011002
PRIORITY APPLN. INFO.:			US 2000-242815P	P 20001024

AB The present invention relates to a method of treating cancer, and more particularly cancer associated with cells that produce and secrete prostate specific antigen (PSA), which is comprised of administering to a patient in need of such treatment a therapeutically effective amount of at least one conjugate (hereinafter referred to as a PSA conjugate), which comprises an oligopeptide that is selectively cleaved by PSA and a cytotoxic agent, in combination with radiation therapy. The preparation of conjugates of doxorubicin and vinblastine is presented.

IT INDEXING IN PROGRESS

IT 408502-26-1DP, resin-bound 475631-16-4DP, resin-bound
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (method of treating cancer using **conjugate** of oligopeptide that is selectively cleaved by PSA and a cytotoxic agent in combination with radiation therapy)

L17 ANSWER 2 OF 34 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:276519 HCAPLUS

DOCUMENT NUMBER: 136:310188

TITLE: Treatment of cancer with a prostate specific antigen (PSA) conjugate and an NSAID compound
 INVENTOR(S): Heimbroke, David C.; Yao, Siu-long

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 129 pp.
 CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002042375	A1	20020411	US 2001-896245	20010629
PRIORITY APPLN. INFO.:			US 2000-216217P	P 20000705
OTHER SOURCE(S): MARPAT 136:310188				

AB The invention relates to methods of treating cancer using a combination of a compound which is a PSA conjugate and a nonsteroidal antiinflammatory agent (NSAID) and to methods of preparing such compns. The PSA conjugate comprises an oligopeptide that is selectively cleaved by PSA and a cytotoxic agent. An example of a PSA conjugate is N-Ac-(4-trans-L-Hyp)-Ala-Ser-Chg-Gln-Ser-Leu-Dox (Dox = doxorubicin, Hyp = hydroxyproline, Chg = cyclohexylglycine) and COX-2 inhibitor 3-phenyl-4-[4-(4-methylsulfonyl)phenyl]-2(5H)furanone is an example of an NSAID compound (syntheses given).

IT **408502-26-1DP**, resin-bound
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (treatment of cancer with prostate specific antigen (PSA) conjugate and NSAID compound)

L17 ANSWER 3 OF 34 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:276430 HCAPLUS
 DOCUMENT NUMBER: 136:310187
 TITLE: Treatment of cancer with a prostate specific antigen (PSA) conjugate and an inhibitor of angiogenesis
 INVENTOR(S): Defeo-Jones, Deborah; Heimbrook, David C.; Jones, Raymond E.
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 102 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002041880	A1	20020411	US 2001-896251	20010629
PRIORITY APPLN. INFO.:			US 2000-215934P	P 20000705
OTHER SOURCE(S): MARPAT 136:310187				

AB The invention relates to methods of treating cancer using a combination of a compound which is a PSA conjugate and a compound which is an inhibitor of angiogenesis and to methods of preparing such compns. The PSA conjugate comprises an oligopeptide that is selectively cleaved by PSA and a cytotoxic agents. An example of a PSA conjugate is N-Ac-(4-trans-L-Hyp)-Ala-Ser-Chg-Gln-Ser-Leu-Dox (Dox = doxorubicin, Hyp = hydroxyproline, Chg = cyclohexylglycine) and 3-(3-thienyl)-6-(4-methoxyphenyl)pyrazolo[1,5-a]pyrimidine is an example of an angiogenesis inhibitor (syntheses given).

IT **408502-26-1DP**, resin-bound
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (treatment of cancer with a prostate specific antigen (PSA) conjugate and an inhibitor of angiogenesis)

L17 ANSWER 4 OF 34 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:693138 HCAPLUS
 DOCUMENT NUMBER: 135:273218
 TITLE: Preparation of peptidase-cleavable, targeted antineoplastic drugs and their therapeutic use

INVENTOR(S): Copeland, Robert A.; Albright, Charles F.; Combs, Andrew P.; Dowling, Radine L.; Graciani, Nilsa R.; Han, Wei; Higley, C. Anne; Huang, Pearl S.; Yue, Eddy W.; Dimeo, Susan V.
 PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA
 SOURCE: PCT Int. Appl., 203 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001068145	A2	20010920	WO 2001-US8589	20010315
WO 2001068145	A3	20020711		
W: AT, AU, BR, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, HU, IN, JP, KR, LT, LU, LV, MX, NZ, PL, PT, RO, RU, SE, SG, SI, SK, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
US 2002103133	A1	20020801	US 2001-808832	20010315
EP 1263473	A2	20021211	EP 2001-918798	20010315
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, CY, TR				
PRIORITY APPLN. INFO.:			US 2000-189387P	P 20000315
			WO 2001-US8589	W 20010315
OTHER SOURCE(S):			MARPAT 135:273218	
AB	This invention is directed to antineoplastic agents conjugated to enzyme-cleavable peptides comprising the amino acid recognition sequence of a membrane-bound and/or cell-secreted peptidase. The conjugated compds. are for use as chemotherapeutic agents in the targeted treatment of cancers. Claimed peptide sequences include Cap-Paa-Xa2-Gly-Xpl-Laa, where Cap is an N-terminus group R, Xa4 or R-Xa4 (R is an amino capping group, Xa4 is an amino acid), Paa is Pro, 4-hydroxyproline (Hyp), 2-carboxyazetidine (Aze), homo-Pro, cyclohexylglycine (Chg), 4-fluorophenylalanine (Fph), nipecotic acid (Npa), 4-thiazolidinecarboxylic acid (Tzc), or proline mimetic; Xa2 is an amino acid; Xpl is an amino acid wherein -Gly-Xpl- or -Sar-Xpl form a bond cleavable by a matrixin ; Laa is an amino acid, e.g., Leu, Ile, Nle, β -homo-Leu, homoleucine, homoserine, Ala and cyclohexylalanine. Thus, peptide conjugate Ac-PLGLYL-Dox (Dox = doxorubicin) was prepared by the solid phase method and evaluated for stability in blood and cleavage with MMPs and neprilysin.			
IT	360780-56-9P 360781-10-8P 360781-12-0P 360781-19-7P 360781-20-0P 360781-25-5P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of antineoplastic agents conjugated to enzyme-cleavable peptides)			
IT	146480-35-5, Gelatinase A 146480-36-6, Gelatinase B 161384-17-4 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process) (preparation of antineoplastic agents conjugated to enzyme-cleavable peptides)			
L17 ANSWER 5 OF 34 HCAPLUS COPYRIGHT 2002 ACS				
ACCESSION NUMBER: 2000:643798 HCAPLUS				
DOCUMENT NUMBER: 133:350495				
TITLE: Direct identification of a novel disulfide bond linkage system of new isolated isomer (isomer V) in				

recombinantly produced h-IGF-I
 AUTHOR(S): Iwai, Michio; Yokoyama, Hideyuki; Yamada, Hisashi;
 Niwa, Mineo; Kobayashi, Masakazu
 CORPORATE SOURCE: Marine Technical College, Faculty of Liberal Arts and
 Science, Ashiya, 659-0026, Japan
 SOURCE: Chemical & Pharmaceutical Bulletin (2000), 48(9),
 1304-1309
 CODEN: CPBTAL; ISSN: 0009-2363
 PUBLISHER: Pharmaceutical Society of Japan
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Insulin-like growth factor I (IGF-I or somatomedin C) is a serum
 polypeptide with three intramol. disulfide bonds. In the course of
 synthesis by the recombinant DNA method, three disulfide bond isomers, all
 of which have Cys18-Cys61 with three combinations of two disulfide bonds
 formed by Cys6, Cys47, Cys48 and Cys52, were identified. Natural type,
 isomer II, was proved to have a Cys6-Cys48, Cys18-Cys61, Cys47-Cys52
 disulfide bond system. Now, the fourth isomer, isomer V, which does not
 have Cys18-Cys61 disulfide, has been isolated, and its novel disulfide
 bond linkage system was identified by a chem. synthetic method. The
 supposed conformation constrained in 3D structure for isomer V would be
 discussed for its biol. activity.
 IT 304011-41-4DP, resin-bound 304011-42-5DP, resin-bound
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (synthetic methods for the direct determination of the disulfide bond
 linkages in the newly isolated isomer V of the recombinantly
 produced insulin-like growth factor-I)
 REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 6 OF 34 HCAPLUS COPYRIGHT 2002 ACS
 ACCESSION NUMBER: 2000:288387 HCAPLUS
 DOCUMENT NUMBER: 133:17802
 TITLE: Improved synthesis of difficult peptides using Boc
 chemistry and a novel linker
 AUTHOR(S): Kalbag, Suresh; Narindray, Daljit; Slavazza, Dario
 CORPORATE SOURCE: Departments of QC Biochemistry, Genentech, Inc., S.
 San Francisco, CA, 94080, USA
 SOURCE: Peptides 1998, Proceedings of the European Peptide
 Symposium, 25th, Budapest, Aug. 30-Sept. 4, 1998 (1999
), Meeting Date 1998, 102-103. Editor(s): Bajusz, Sandor; Hudecz, Ferenc.
 Akademiai Kiado: Budapest, Hung.
 CODEN: 68WKAY
 DOCUMENT TYPE: Conference
 LANGUAGE: English
 AB A symposium report. Solid state peptide synthesis was carried out using
 the linker 2-hydroxyethyl-dithio-propionylamino-MBHA.
 IT 271794-97-9P 271794-98-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (synthesis of difficult peptides using Boc chem. and novel
 linker)
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 7 OF 34 HCAPLUS COPYRIGHT 2002 ACS
 ACCESSION NUMBER: 1999:779214 HCAPLUS
 DOCUMENT NUMBER: 132:26815
 TITLE: Conjugates useful in the treatment of prostate cancer
 INVENTOR(S): Feng, Dong-Mei; Garsky, Victor M.; Jones, Raymond E.;
 Wai, Jenny M.
 PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: U.S., 59 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	US 5998362	A	19991207	US 1997-926412	19970909
AB	Chem. conjugates which comprise oligopeptides, having amino acid sequences that are selectively proteolytically cleaved by free prostate specific antigen (PSA), hydrophilic oligopeptide blocking groups and known cytotoxic agents are disclosed. Such conjugates are useful in the treatment of prostatic cancer and benign prostatic hypertrophy (BPH).				
IT	205186-90-9DP , PAM resin conjugates RL: PNU (Preparation, unclassified); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent) (antitumor-peptide conjugates useful in the treatment of prostate cancer)				
IT	205186-89-6D , PAM resin conjugates RL: RCT (Reactant); RACT (Reactant or reagent) (antitumor-peptide conjugates useful in the treatment of prostate cancer)				

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

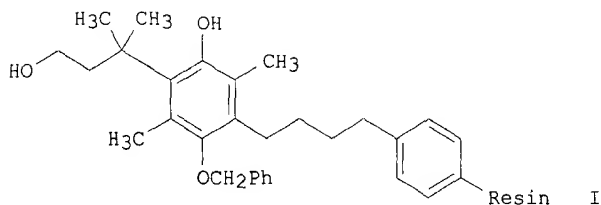
L17 ANSWER 8 OF 34 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:726856 HCAPLUS
 DOCUMENT NUMBER: 132:122920
 TITLE: The synthesis of arginine-containing peptides and their conjugates with protohemin IX and tetraphenylporphyrin
 AUTHOR(S): Evstigneeva, R. P.; Zheltukhina, G. A.; Khalil, V.; Efimova, E. I.
 CORPORATE SOURCE: Lomonosov State Academy of Fine Chemical Technology, Moscow, 117571, Russia
 SOURCE: Bioorganicheskaya Khimiya (1999), 25(8), 572-580
 CODEN: BIKHD7; ISSN: 0132-3423
 PUBLISHER: MAIK Nauka
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 AB Arginine-containing peptides and their conjugates with protohemin were synthesized by the solid phase method using Merrifield resin. The conjugates of arginine containing peptides with tetraphenylporphyrin were obtained by using phosphorus trichloride as an activating agent.
 IT **256391-34-1DP**, resin-bound
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (synthesis of arginine-containing peptides and their **conjugates** with protohemin and tetraphenylporphyrin)
 IT **256398-75-1P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (synthesis of arginine-containing peptides and their **conjugates** with protohemin and tetraphenylporphyrin)

L17 ANSWER 9 OF 34 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:567008 HCAPLUS
 DOCUMENT NUMBER: 131:322896
 TITLE: A novel resin linker for solid-phase peptide synthesis which can be cleaved using two sequential mild reactions
 AUTHOR(S): Zheng, Ailian; Shan, Daxian; Shi, Xuling; Wang, Binghe

CORPORATE SOURCE: Department of Chemistry, North Carolina State
University, Raleigh, NC, 27695-8204, USA
SOURCE: Journal of Organic Chemistry (1999), 64(20), 7459-7466
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB The interest in developing new linkers for solid-phase peptide and organic synthesis has increased tremendously as a result of the rapid development of combinatorial chem. Here, the development of a new redox-sensitive linker for solid-phase peptide synthesis is described. This linker can be readily cleaved under mild conditions by using two sequential mild reactions, a reduction followed by a base (Bu₄N⁺F⁻)-catalyzed cyclic ether formation. Using the Merrifield resin-bound quinone linker I, peptides Boc-Trp-Ala-Gly-Gly-OH and Boc-Asn-Ala-Ser(CH₂Ph)-Gly-Glu(OCH₂Ph)-OH were synthesized. Because the cleavage does not use acidic conditions, this resin linker provides an alternative when acidic conditions are not desirable. Furthermore, the cleavage conditions do not affect most of the side chain protecting group. Therefore, the synthetic peptides can be used for the segment synthesis of larger peptides without the need to reprotect the side chain functional groups.

IT **249589-49-9P 249589-51-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(solid-phase peptide synthesis using a redox-sensitive, quinone-derived resin **linker** that can be cleaved under mild conditions)
IT **249589-42-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase peptide synthesis using a redox-sensitive, quinone-derived resin **linker** that can be cleaved under mild conditions)

REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 10 OF 34 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:487893 HCAPLUS

DOCUMENT NUMBER: 131:286798

TITLE: Synthesis of Two Possible Disulfide Bonds Containing Peptide Fragments (Cys6-Cys47, Cys48-Cys52 (Type I), and Cys6-Cys48, Cys47-Cys52 (Type II) of h-IGF-I) for the Identification of Disulfide Bond Linkage in Recombinantly Produced h-IGF-I

AUTHOR(S): Iwai, Michio; Yamada, Hisashi; Ishii, Yoshinori; Tamura, Kouichi; Niwa, Mineo; Kobayashi, Masakazu

CORPORATE SOURCE: Dep. Chem., Fac. Liberal Arts and Sci., Marine Technical College, Ashiya, Hyogo, 659-0026, Japan

SOURCE: Bulletin of the Chemical Society of Japan (1999), 72(8), 1827-1835

CODEN: BCSJA8; ISSN: 0009-2673

PUBLISHER: Chemical Society of Japan
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The primary structure of human IGF-I, except for the disulfide bond system, has been reported by Rinderknecht and Humbel. IGF-I afforded the corresponding characteristic peptide fragments on V8 protease digestion, which contained Cys6, Cys47, Cys48, and Cys52. Two possible fragments, Type I with Cys6-Cys47 and Cys48-Cys52 and Type II with Cys6-Cys48 and Cys47-Cys52 of h-IGF-I(4-9,47-53), were chem. synthesized. The disulfide bond system of IGF-I was unequivocally determined to be the Type-II form along with Cys18-Cys61. Interestingly, the Type-I system was included in the disulfide bond isomer produced as the main byproduct in the refolding step on IGF-I synthesis by the recombinant DNA method.

IT 246849-51-4P 246849-52-5P 246849-53-6P
 246849-54-7P 246849-55-8P 246849-56-9P
 246849-57-0P 246849-58-1P 246849-59-2P
 246849-60-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of in the synthesis of two possible disulfide bonds for the identification of disulfide bond **linkage** in recombinantly produced h-IGF-I)

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 11 OF 34 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:425789 HCAPLUS

DOCUMENT NUMBER: 131:55803

TITLE: Synthetic peptide substrates for the determination of human pepsinogen II or pepsin II for diagnosis of stomach diseases

INVENTOR(S): Hayashi, Akio; Matsuo, Masayoshi

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

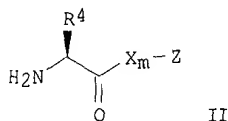
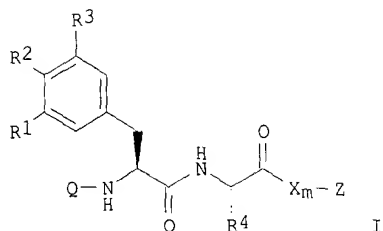
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9932511	A1	19990701	WO 1998-JP5780	19981221
W: JP, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1046650	A1	20001025	EP 1998-961451	19981221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
US 6441131	B1	20020827	US 2000-581944	20000620
PRIORITY APPLN. INFO.:				
			JP 1997-364796	A 19971222
			JP 1998-213513	A 19980713
			WO 1998-JP5780	W 19981221

OTHER SOURCE(S): MARPAT 131:55803

GI



AB Provided are synthetic peptides I (Q=Qa(AA)_n [AA=amino acid residues; n=0-15 integral; Qa=H, Cl-4 alkyl, amino group-protecting groups, D- or L-amino or NH₂(CH₂)_rCO (r=2-7 integral)); R₁, R₂=H, halo on aromatic ring; R₃=H, halo; R₄=H, Cl-3 alkyl or hydroxymethyl; X=D- or L-amino acid; m=0, 1; Z=aniline derivative, aminocumarine derivative, amino-naphthalene derivative;

when

n>2, AA may be same or different amino acids; R₁≠R₂≠R₃=H) for use as a substrate for the determination of human body fluid pepsinogen II or pepsin II during diagnosis of stomach diseases such as cancer or ulcer. Upon digestion of I with human pepsin II, II (R₄, X, m, Z as in I) may be obtained and its aminopeptidase-digested product ZH may be determined. Synthesis of Pro-Leu-Ser-Glu-Ala-(2-Naphthyl)Ala-p-aniline and other I, and determination of pepsin II in a blood anal. using I as a substrate were demonstrated.

IT 228103-11-5DP, conjugate with oxime resin

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthetic peptide substrates for determination of human pepsinogen II or pepsin II for diagnosis of stomach diseases)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 12 OF 34 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:166639 HCAPLUS

DOCUMENT NUMBER: 130:209984

TITLE: Synthesis of cyclosporin A conjugates for treatment of neurological disorders

INVENTOR(S): Rich, Daniel H.; Solomon, Michael E.

PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA

SOURCE: PCT Int. Appl., 129 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9910374	A1	19990304	WO 1998-US17544	19980825

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,

DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9892038 A1 19990316 AU 1998-92038 19980825
 US 6316405 B1 20011113 US 1999-242724 19990222
 PRIORITY APPLN. INFO.: US 1997-57751P P 19970826
 WO 1998-US17544 W 19980825

OTHER SOURCE(S): MARPAT 130:209984

AB Cyclosporin A (CsA) conjugates, cyclo(V-Abu-W-X-Val-X'-Y(Z)-D-Ala-MeLeu-MeLeu-MeVal) [V = MeLeu(3-OH), MeLeu, MeSer, MeSer-PG, MeThr, MeThr-PG, where PG is a side-chain protecting group; W = D-N-Me amino acid or N-methylglycyl residue; X, X' = N-methyleucynyl or N-methylalanyl residue; Y = lysyl, homo-lysyl, ornithinyl, lysyl-PG, homo-lysyl-PG, or ornithinyl-PG residue; Z is a polypeptide comprising 5 or more contiguous residues of Aβ peptide], were prepared for the treatment of neurol. disorders. Thus, the synthesis of Ac-EKLVFF-NH2/[MeLeu(3-OH)]₁-D-MeAla_{4,6},Lys₇]CsA conjugate is described.

IT 220871-27-2P 220871-28-3P 220871-29-4P 220871-30-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (synthesis of cyclosporin A **conjugates** for treatment of neurol. disorders)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 13 OF 34 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:90333 HCAPLUS
 DOCUMENT NUMBER: 130:167157
 TITLE: Oligopeptides recognized and cleavable by free prostate specific antigen for treating prostate cancer
 Defeo-Jones, Deborah; Garsky, Victor M.; Feng, Dong-Mei; Jones, Raymond E.; Oliff, Allen I.
 INVENTOR(S): Merck and Co., Inc., USA
 PATENT ASSIGNEE(S): U.S., 100 pp., Cont.-in-part of U.S. Ser. No. 468,161.
 SOURCE: CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5866679	A	19990202	US 1995-540412	19951006
US 5599686	A	19970204	US 1994-267092	19940628
US 6143864	A	20001107	US 1995-468161	19950606
CA 2233272	AA	19970410	CA 1996-2233272	19961002
WO 9712624	A1	19970410	WO 1996-US15713	19961002
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9672034	A1	19970428	AU 1996-72034	19961002
EP 853483	A1	19980722	EP 1996-933210	19961002
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 10512588	T2	19981202	JP 1996-514360	19961002

US 6130204	A	20001010	US 1998-51342	19980406
AU 9864763	A1	19980723	AU 1998-64763	19980506
AU 714288	B2	19991223		

PRIORITY APPLN. INFO.:

US 1994-267092	A2	19940628
US 1995-404833	B2	19950315
US 1995-468161	A2	19950606
AU 1995-30922	A3	19950607
US 1995-540412	A	19951006
AU 1996-72034	A3	19961002
WO 1996-US15713	W	19961002

OTHER SOURCE(S): MARPAT 130:167157

AB Oligopeptides which comprise amino acid sequences that are recognized and proteolytically cleaved by free prostate specific antigen (PSA) are described. Also described are assays which comprise such oligopeptides useful for determining free PSA protease activity in vitro and in vivo. Therapeutic agents which comprise conjugates of such oligopeptides and known therapeutic or cytotoxic agents are also described. The oligopeptide conjugates are useful for treatment of prostate cancer.

IT 189513-07-3D, resin-bound

RL: RCT (Reactant); RACT (Reactant or reagent)
(oligopeptides recognized and cleavable by free prostate specific antigen protease and **conjugates** with cytotoxic agent for treating prostate cancer)

IT 220306-52-5DP, resin-bound

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(oligopeptides recognized and cleavable by free prostate specific antigen protease and **conjugates** with cytotoxic agent for treating prostate cancer)

REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 14 OF 34 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:812106 HCAPLUS

DOCUMENT NUMBER: 130:153953

TITLE: Synthesis of a Glycopeptide Containing
Oligosaccharides: Chemoenzymic Synthesis of Eel
Calcitonin Analogs Having Natural N-Linked
Oligosaccharides

AUTHOR(S): Mizuno, Mamoru; Haneda, Katsuji; Iguchi, Reiko;
Muramoto, Ikuyo; Kawakami, Toru; Aimoto, Saburo;
Yamamoto, Kenji; Inazu, Toshiyuki

CORPORATE SOURCE: Noguchi Institute, Kaga Itabashi-ku Tokyo, 173-0003,
Japan

SOURCE: Journal of the American Chemical Society (1999),
121(2), 284-290

CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 130:153953

AB A novel chemoenzymic synthesis of eel calcitonin (eCT) glycopeptide analogs having natural N-linked oligosaccharides, such as a disialo biantennary complex-type [(NeuAc-Gal-GlcNAc-Man)2-Man-GlcNAc2], an asialo complex-type [(Gal-GlcNAc-Man)2-Man-GlcNAc2], and a high-mannose type [Man6-GlcNAc2] as model compds. for glycoprotein synthesis is described. First, a glycoprotein containing N-acetylglucosamine (GlcNAc) was prepared by a chem. synthesis. Next, natural oligosaccharides were added to the prepared glycopeptide containing GlcNAc by a transglycosylation reaction using endo- β -N-acetylglucosaminidase (endo- β -GlcNAc-ase) from *Mucor hiemalis*.

IT 201530-30-5DP, resin-bound

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(chemoenzymic preparation of eel calcitonin analogs having natural N-linked oligosaccharides)

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 15 OF 34 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:597708 HCAPLUS

DOCUMENT NUMBER: 130:25299

TITLE: A new base-labile linker for Boc solid phase peptide synthesis

AUTHOR(S): Eggenweiler, Hans-Michael; Clausen, Nils; Bayer, Ernst

CORPORATE SOURCE: Institute of Organic Chemistry, University of Tübingen, Tübingen, 72076, Germany

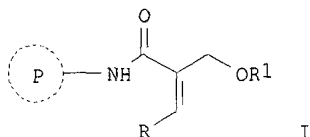
SOURCE: Peptides 1996, Proceedings of the European Peptide Symposium, 24th, Edinburgh, Sept. 8-13, 1996 (1998), Meeting Date 1996, 359-360. Editor(s): Ramage, Robert; Epton, Roger. Mayflower Scientific: Kingswinford, UK.

CODEN: 66RCA5

DOCUMENT TYPE: Conference

LANGUAGE: English

GI



AB Solid phase fragment condensation is an important method well established in Fmoc chem. for the synthesis of large peptides. However, it is rarely used in Boc chem. Linkers which allow cleavage of protected peptides in Boc/Bzl strategy include those based on the fluorene system, hydroxyethyl-nitrobenzoic acid as well as photolabile, fluoride cleavable and palladium(0) cleavable linkers. None of these is without drawbacks, e.g. laborious synthesis, side reactions during cleavage, poor cleavage yield or product contamination by catalyst. Here the authors report a new, simple linker, based on α -hydroxymethyl acrylic acid (I; R = H, Me, iso-Pr, Ph; R1 = H; P = polymer support), which allows ready access to fully protected peptides by the Boc/Bzl strategy. This linker is readily available and permits rapid, quant. cleavage under mild basic conditions, e.g. 5-10% piperidine or morpholine, the first amino acid being attached through an allylic ester linkage. In contrast to other allylic ester linkers, the α -hydroxymethyl acrylic acid based linker system exhibits extreme lability to primary and secondary amines or nucleophiles like F. However, no cleavage or addition products can be detected in 50% DIEA or NMM in DMF or 55% TFA in DCM after 24 h at 25°. According to the cleavage mechanism, the lability towards nucleophiles can be adjusted by varying the substituents at the double bond, to meet the requirements of different applications. In accord with these considerations, the authors found enhanced base stability for I (R = CH₃, R1 = Boc-Phe; t_{1/2} = .apprx.15 min) compared to R = H (t_{1/2} = .apprx.3 min). The effect was more pronounced for R = i-Pr. I (R = Ph, R1 = H) showed almost complete piperidine stability. To demonstrate the application of the new linker principle, the authors used linker I (R = R1 = H), to synthesize several protected peptide fragments, e.g.

Boc-Cys(Acm)-Thr(Bzl)-Leu-Asn-Phe-OH, in Boc/Bzl strategy on amino-methylated polystyrene (1.33 mmol/g) and TentaGel S NH₂ (0.27 mmol/g). Loading of the resins was performed either by coupling the preformed linker-amino acid building block onto the resin as its Pfp-Ester or by coupling the protected amino acid onto linker-functionalized resin. The second method allows flexibility regarding the substrate coupled onto the resin. The first method facilitates exact determination of substitution. Both HOBt/DIC- and TBTU-chemistries were used, with in-situ neutralization. Syntheses utilized an ABI 433A peptide synthesizer in 0.1 mmol scale. HOBt/DIC as well as TBTU activation gave crude products of high purity (Figure 2), TBTU activation allowing shorter coupling cycles. Cleavage was carried out with 5% piperidine or morpholine in varying solvents, depending on peptide solubility. Crude products obtained by cleavage with morpholine were superior to those cleaved by piperidine. For HPLC, crude cleavage mixts. were injected directly after neutralization with acetic acid. The identity and integrity of the protected peptides was confirmed by IS-MS. The authors have demonstrated that the new α -hydroxymethyl acrylic acid linker is a useful, practicable and versatile tool for synthesizing fully protected peptides for the Boc/Bzl strategy for fragment condensation. Its advantages, compared to linkers so far used for that purpose are (a) ready availability, (b) extremely mild cleavage conditions combined with (c) complete stability towards Boc-SPPS conditions. Alternatively, palladium(O) catalyzed cleavage is possible. The rapid cleavage process (t_{1/2} = .apprx.3 min) enables quasi simultaneous monitoring of the ongoing synthesis by HPLC. By varying the substituents at the double bond of the acrylic system, it is possible to create a whole class of linkers with finely adapted lability. As preliminary results showed, in case of ethers instead of esters, cleavage proceeds under similar conditions. This unusual ether lability is due to the described mechanism of cleavage.

IT 216220-83-6P 216220-84-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(new base-labile α -(hydroxymethyl)acrylic acid linker
for Boc solid phase peptide synthesis)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 16 OF 34 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:293399 HCAPLUS

DOCUMENT NUMBER: 129:4866

TITLE: Peptide conjugates useful in the treatment of prostate cancer

INVENTOR(S): Garsky, Victor M.; Feng, Dong-Mei; Defeo-Jones, Deborah

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Garsky, Victor M.; Feng, Dong-Mei; Defeo-Jones, Deborah

SOURCE: PCT Int. Appl., 143 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9818493	A2	19980507	WO 1997-US19225	19971027
W:	AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, EK, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			

US 5948750	A	19990907	US 1997-950805	19971014
AU 9851497	A1	19980522	AU 1998-51497	19971027
AU 726434	B2	20001109		
EP 942754	A2	19990922	EP 1997-946296	19971027
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9712589	A	19991026	BR 1997-12589	19971027
CN 1242708	A	20000126	CN 1997-181168	19971027
JP 2000509407	T2	20000725	JP 1998-520593	19971027
ZA 9709655	A	19980430	ZA 1997-9655	19971028
TW 425286	B	20010311	TW 1997-86115986	19971028
NO 9902069	A	19990630	NO 1999-2069	19990429
KR 2000052970	A	20000825	KR 1999-703846	19990430
US 2002115596	A1	20020822	US 2001-961236	20010921

PRIORITY APPLN. INFO.:

US 1996-29224P	P	19961030
GB 1996-26309	A	19961218
US 1997-42921P	P	19970404
GB 1997-18160	A	19970828
WO 1997-US19225	W	19971027
US 2001-819394	A1	20010328

OTHER SOURCE(S): MARPAT 129:4866

AB Chem. conjugates which comprise oligopeptides, having amino acid sequences that are selectively proteolytically cleaved by free prostate specific antigen (PSA), and known cytotoxic agents are disclosed. Such conjugates are useful in the treatment of prostatic cancer and benign prostatic hypertrophy. Thus, [N-Ac-(4-trans-L-Hyp)]-Ala-Ser-Chg-Gln-Ser-Leu-Dox (L-Hyp = 4-hydroxy-L-proline, Chg = cyclohexylglycine, Dox = doxorubicin), prepared by the solid-phase method, was assayed for in vitro cytotoxicity (LNCaP cell kill in 72 h, EC 50 = 100 μ M).

IT 207395-87-7DP, resin-bound 207395-91-3DP, resin-bound
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (peptide conjugates useful in treatment of prostate cancer)

L17 ANSWER 17 OF 34 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:268967 HCAPLUS

DOCUMENT NUMBER: 128:244313

TITLE: Convergent Synthesis of N-Linked Glycopeptides on a Solid Support

AUTHOR(S): Roberge, J. Y.; Beebe, X.; Danishefsky, S. J.

CORPORATE SOURCE: Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, New York, NY, 10021, USA

SOURCE: Journal of the American Chemical Society (1998), 120(16), 3915-3927
 CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Solid-supported synthesis can be conducted to produce a variety of glycopeptides in good overall yields. The carbohydrates are formed by the glycal assembly method. The polymer-bound construct terminates in a glycal. The terminal double bond can be functionalized to provide a C2-N-acetylglucosamine linkage with an amino group in the anomeric position. The latter can be coupled, in a convergent manner, to the γ -carboxyl group of an aspartyl residue on a preformed peptide. Iodosulfonamidation of the polymer-bound glucal to the N-acetylglucosamine using anthracenesulfonamide was crucial for the success of the solid-phase synthesis. This general method was employed in the formation of a variety of glycopeptides.

IT 167414-32-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (convergent solid-phase synthesis of asparagine-linked

glycopeptides)

L17 ANSWER 18 OF 34 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:180735 HCAPLUS

DOCUMENT NUMBER: 128:252982

TITLE: Oligopeptide-cytotoxic agent conjugates useful in the treatment of prostate cancer and benign prostatic hypertrophy

INVENTOR(S): Feng, Dong-Mei; Garsky, Victor M.; Jones, Raymond E.; Oliff, Allen I.; Wai, Jenny M.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Feng, Dong-Mei; Garsky, Victor M.; Jones, Raymond E.; Oliff, Allen I.; Wai, Jenny M.

SOURCE: PCT Int. Appl., 138 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9810651	A1	19980319	WO 1997-US16087	19970910
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9744123	A1	19980402	AU 1997-44123	19970910
AU 715632	B2	20000203		
EP 926955	A1	19990707	EP 1997-942423	19970910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2001501601	T2	20010206	JP 1998-513857	19970910
US 6391305	B1	20020521	US 1999-254892	19990628
PRIORITY APPLN. INFO.: US 1996-26015P P 19960912				
GB 1996-24170 A 19961119				
WO 1997-US16087 W 19970910				

OTHER SOURCE(S): MARPAT 128:252982

AB Chem. conjugates are disclosed which comprise oligopeptides, having amino acid sequences that are selectively proteolytically cleaved by free prostate specific antigen (PSA), hydrophilic oligopeptide blocking groups, and known cytotoxic agents. Such conjugates are useful in the treatment of prostatic cancer and benign prostatic hypertrophy (BPH).

IT 205186-89-6DP, resin-bound 205186-90-9DP, resin-bound

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction; oligopeptide-cytotoxic agent conjugates for treatment of prostate cancer and benign prostatic hypertrophy)

L17 ANSWER 19 OF 34 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:79057 HCAPLUS

DOCUMENT NUMBER: 128:241112

TITLE: Membrane type-1 matrix metalloprotease and stromelysin-3 cleave more efficiently synthetic substrates containing unusual amino acids in their P1' positions

AUTHOR(S): Mucha, Artur; Cuniassé, Philippe; Kannan, Rama; Beau, Fabrice; Yiotakis, Athanasios; Basset, Paul; Dive, Vincent

CORPORATE SOURCE: CEA, Departement d'Ingenierie et d'Etudes des Proteines, CE-Saclay, Gif/Yvette, 91191, Fr.

SOURCE: Journal of Biological Chemistry (1998), 273(5),

2763-2768
 CODEN: JBCHA3; ISSN: 0021-9258
 PUBLISHER: American Society for Biochemistry and Molecular Biology
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The influence of the substrate P1' position on the specificity of two zinc matrix **metalloproteases**, membrane type-1 matrix **metalloprotease** (MT1-MMP) and **stromelysin-3** (ST3), was evaluated by synthesizing a series of fluorogenic substrates of general formula dansyl-Pro-Leu-Ala-Xaa-Trp-Ala-Arg-NH₂, where Xaa in the P1' position represents unusual amino acids containing either long arylalkyl or alkyl side chains. Our data demonstrate that both MT1-MMP and ST3 cleave substrates containing in their P1' position unusual amino acids with extremely long side chains more efficiently than the corresponding substrates with natural phenylalanine or leucine amino acids. In this series of substrates, the replacement of leucine by S-para-methoxybenzyl cysteine increased the kcat/Km ratio by a factor of 37 for MT1-MMP and 9 for ST3. The substrate with a S-para-methoxybenzyl cysteine residue in the P1' position displayed a kcat/Km value of 1.59 10⁶ M⁻¹ S⁻¹ and 1.67 10⁴ M⁻¹ S⁻¹, when assayed with MT1-MMP and ST3, resp. This substrate is thus one of the most rapidly hydrolyzed substrates so far reported for **matrixins**, and is the first synthetic peptide efficiently cleaved by ST3. These unexpected results for these two **matrixins** suggest that extracellular proteins may be cleaved by **matrixins** at sites containing amino acids with unusual long side chains, like those generated in vivo by some post-translational modifications.

IT 145267-01-2, **Stromelysin-3** 161384-17-4,
 Membrane type-1 matrix **metalloprotease**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (membrane type-1 matrix **metalloprotease** and **stromelysin-3** cleave more efficiently synthetic substrates containing unusual amino acids in P1' positions)

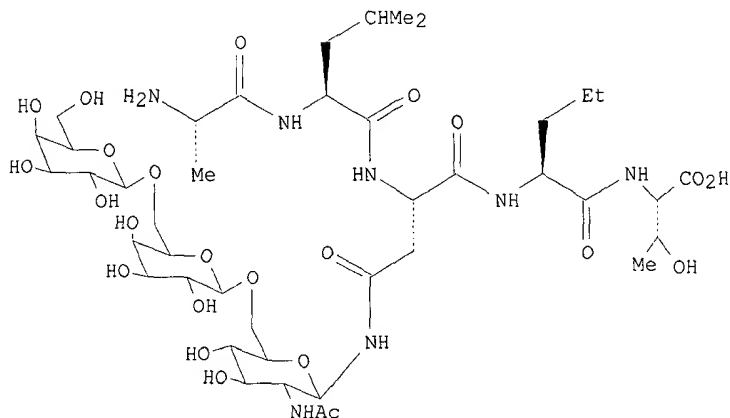
IT 204981-58-8
 RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
 (membrane type-1 matrix **metalloprotease** and **stromelysin-3** cleave more efficiently synthetic substrates containing unusual amino acids in P1' positions)

L17 ANSWER 20 OF 34 HCAPLUS COPYRIGHT 2002 ACS
 ACCESSION NUMBER: 1997:689560 HCAPLUS
 DOCUMENT NUMBER: 127:346608
 TITLE: Synthesis of asparagine-linked glycopeptides on a polymeric solid support
 INVENTOR(S): Danishefsky, Samuel J.; Roberge, Jacques; Beebe, Xenia
 PATENT ASSIGNEE(S): Sloan-Kettering Institute for Cancer Research, USA
 SOURCE: U.S., 68 pp., Cont.-in-part of U.S. Ser. No. 430,355.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 7
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5679769	A	19971021	US 1995-477776	19950607
US 5543505	A	19960806	US 1994-213053	19940315
US 5708163	A	19980113	US 1995-430355	19950428
WO 9640198	A1	19961219	WO 1996-US10229	19960607

W: AU, CA, JP, MX

RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
 AU 9661748 A1 19961230 AU 1996-61748 19960607
 PRIORITY APPLN. INFO.: US 1994-213053 A2 19940315
 US 1995-430355 A2 19950428
 US 1995-477776 A 19950607
 WO 1996-US10229 W 19960607
 OTHER SOURCE(S): MARPAT 127:346608
 GI



I

AB The present invention provides a process for synthesizing a glycopeptide useful as a vaccine for inducing antibodies to human breast cancer cells (no data). Thus, solid phase synthesis of trisaccharide peptide I is reported.

IT **197503-02-9DP**, polymer support
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of asparagine-linked glycopeptides on a polymeric solid support)

IT **167414-32-6P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of asparagine-linked glycopeptides on a polymeric solid support)

L17 ANSWER 21 OF 34 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1997:374825 HCAPLUS

DOCUMENT NUMBER: 126:343882

TITLE: Preparation of peptide conjugates useful in the treatment of benign prostatic hyperplasia

INVENTOR(S): Defeo-Jones, Deborah; Jones, Raymond E.; Oliff, Allen I.; Scolnick, Edward M.; Garsky, Victor M.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA; Defeo-Jones, Deborah; Jones, Raymond E.; Oliff, Allen I.; Scolnick, Edward M.; Garsky, Victor M.

SOURCE: PCT Int. Appl., 193 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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WO 9714416      A1  19970424      WO 1996-US16490  19961015
W:  AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU,
    IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX,
    NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN,
    AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
    IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,
    MR, NE, SN, TD, TG
AU 9674321      A1  19970507      AU 1996-74321    19961015
AU 708475       B2  19990805
EP 855910       A1  19980805      EP 1996-936504   19961015
R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
JP 2000506494   T2  20000530      JP 1997-515930   19961015
US 6177404      B1  20010123      US 1998-51759    19980803
PRIORITY APPLN. INFO.:      US 1995-5664P    P  19951018
                                GB 1996-2903     A  19960213
                                WO 1996-US16490   W  19961015

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OTHER SOURCE(S): MARPAT 126:343882

AB Novel pharmaceutical compns. useful for the treatment of benign prostatic hyperplasia which comprises novel oligopeptides, which are selectively cleaved by enzymically active prostate specific antigen (PSA), in conjunction with a cytotoxic agent are described. Methods of treating benign prostate hypertrophy are also disclosed. Thus, doxorubicin (Dox) conjugate Ac-Lys-Tyr-Gln-Ser-Ser-Ser-Leu-Dox was prepared and assayed for recognition by free PSA (98% cleavage after 4 h).

IT **189513-02-8DP**, resin-bound **189513-07-3DP**, resin-bound
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of peptide **conjugates** for treatment of benign prostatic hyperplasia)

L17 ANSWER 22 OF 34 HCAPLUS COPYRIGHT 2002 ACS

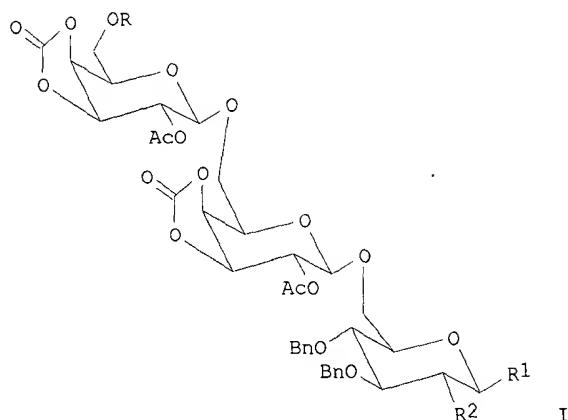
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ACCESSION NUMBER:      1997:132768  HCAPLUS
DOCUMENT NUMBER:       126:144551
TITLE:                 Synthesis of asparagine-linked glycopeptides on a
                        polymeric solid support
INVENTOR(S):           Danishefsky, Samuel J.; Roberge, Jacques; Beebe, Xenia
PATENT ASSIGNEE(S):    Sloan-Kettering Institute for Cancer Research, USA
SOURCE:                PCT Int. Appl., 163 pp.
                        CODEN: PIXXD2
DOCUMENT TYPE:         Patent
LANGUAGE:              English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9640198	A1	19961219	WO 1996-US10229	19960607
W: AU, CA, JP, MX				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5679769	A	19971021	US 1995-477776	19950607
AU 9661748	A1	19961230	AU 1996-61748	19960607
PRIORITY APPLN. INFO.:			US 1995-477776	A 19950607
			US 1994-213053	A2 19940315
			US 1995-430355	A2 19950428
			WO 1996-US10229	W 19960607

GI



AB Asparagine-linked glycopeptides were prepared by halosulfonamidating a glycal, followed by azidation, acylation, reduction, peptide coupling, and deprotection steps. The glycopeptides are useful as vaccines for inducing antibodies to human breast cancer cells in adjuvant therapy. Thus, glycal I (R = polymer support, R1R2 = bond) and 9-anthracenesulfonamide suspended in THF were treated with iodonium bis(sym-collidine) perchlorate to give I (R = polymer support, R1 = 9-anthracenesulfonamide, R2 = iodo), which was treated sequentially with tetrabutylammonium azide in THF, Ac2O/4-(dimethylamino)pyridine in THF, and 1,3-propanedithiol/diisopropylethylamine in DMF to afford I (polymer support, R = NH₂, R1 = NHAc). The latter underwent peptide coupling at the NH₂ group to afford asparagine-linked glycopeptides.

IT **167414-32-6DP**, polymer-bound

RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of asparagine-linked glycopeptides on polymeric solid support)

IT **167414-32-6P**

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of asparagine-linked glycopeptides on polymeric solid support)

L17 ANSWER 23 OF 34 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:730376 HCAPLUS

DOCUMENT NUMBER: 123:340896

TITLE: Use of glycolamidic ester link (G.E.L.) for the preparation of protected peptides

AUTHOR(S): Ceccato, Marie-Line; Chavanieu, Alain; Chenu, Jacques; Mendre, Christiane; Calas, Bernard

CORPORATE SOURCE: Sanofi-Recherche, Toulouse, F-31036, Fr.

SOURCE: Protein and Peptide Letters (1995), 2(1), 287-90

CODEN: PPELEN; ISSN: 0929-8665

PUBLISHER: Bentham Science Publishers BV

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The glycolamidic ester link was used to synthesize protected peptides having a -CO₂H or -CONHNH₂ group in the C-terminal position, depending on the method (NaOH or hydrazine in DMF) used to cleave the peptide from the resin.

IT **170645-96-2P 170645-97-3P 170645-99-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)

(glycolamidic ester link for preparation of protected peptides)

L17 ANSWER 24 OF 34 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:729167 HCAPLUS
DOCUMENT NUMBER: 123:103526
TITLE: Amino acid substituted analogs of atrial natriuretic peptides that retains their activity and with specificity for the A receptor
INVENTOR(S): Lowe, David; Cunningham, Brian C.; Oare, David; McDowell, Robert S.; Burnier, John
PATENT ASSIGNEE(S): Genentech, Inc., USA
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9513296	A1	19950518	WO 1994-US12591	19941104
W: AU, CA, CN, CZ, JP, NZ, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2174517	AA	19950518	CA 1994-2174517	19941104
AU 9519349	A1	19950529	AU 1995-19349	19941104
EP 728147	A1	19960828	EP 1995-901112	19941104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09505049	T2	19970520	JP 1994-513878	19941104
US 5665704	A	19970909	US 1995-451240	19950525
US 5846932	A	19981208	US 1995-470846	19950606
PRIORITY APPLN. INFO.:			US 1993-152994	19931112
			WO 1994-US12591	19941104
			US 1995-362552	19950106
			US 1995-419877	19950411

AB Amino acid substituted human receptor selective atrial natriuretic factor variants, especially G16R, show equal potency and binding affinity for the human

A-receptor but have decreased affinity for the human clearance or C-receptor. These ANF variants have natriuretic, diuretic and vasorelaxant activity but have increased metabolic stability, making them suitable for treating congestive heart failure, acute kidney failure and renal hypertension.

IT **166098-79-9DP, conjugates** with PAM resin
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(atriopeptin analog, amino acid sequence; amino acid substituted analogs of atrial natriuretic peptides that retains their activity and with specificity for receptor)

L17 ANSWER 25 OF 34 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:692091 HCAPLUS
DOCUMENT NUMBER: 123:170158
TITLE: A strategy for a convergent synthesis of N-linked glycopeptides on a solid support
AUTHOR(S): Roberge, Jacques Y.; Beebe, Xenia; Danishefsky, Samuel J.
CORPORATE SOURCE: Lab. Bioorg. Chem., Sloan-Kettering Inst. Cancer Res., New York, NY, 10021, USA
SOURCE: Science (Washington, D. C.) (1995), 269(5221), 202-4
CODEN: SCIEAS; ISSN: 0036-8075
PUBLISHER: American Association for the Advancement of Science
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Oligosaccharides and glycopeptides are of considerable importance in mol. biol. and pharmacol. However, their synthesis is complicated by the large number of different linking sites between each saccharide unit, the need for stereochem. control, the chem. sensitivity of the glycopeptide bonds, and the need to harmonize diverse protecting groups. Here, an efficient solid-phase synthesis of three N-linked glycopeptides based on glycal assembly is presented. The peptide domain can be extended while the ensemble remains bound to the polymer. The glycopeptides synthesized here are among the largest N-linked glycopeptides ever accessed by either solution- or solid-phase synthesis.

IT 167414-32-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(a strategy for a convergent synthesis of N-linked glycopeptides on a solid support)

L17 ANSWER 26 OF 34 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:371262 HCAPLUS

DOCUMENT NUMBER: 122:214517

TITLE: Synthesis and applications of a new base-labile fluorene derived linker for solid-phase peptide synthesis

AUTHOR(S): Rabanal, Francesc; Giralt, Ernest; Albericio, Fernando

CORPORATE SOURCE: Dep. Organic Chem., Univ. Barcelona, Barcelona, E-08028, Spain

SOURCE: Tetrahedron (1995), 51(5), 1449-58
CODEN: TETRAB; ISSN: 0040-4020

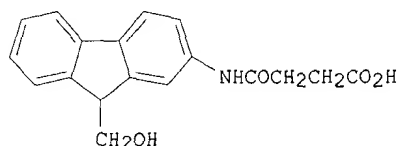
PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 122:214517

GI



AB The handle N-[(9-hydroxymethyl)-2-fluorenyl]succinamic acid (HMFS) (I) is reported for the preparation of protected peptide segments in combination with a tert-butoxycarbonyl (Boc)/benzyl protection scheme. Treatment of peptide resins with morpholine in DMF renders protected peptides in high yields and purities.

IT 141340-59-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis and applications of a new base-labile fluorene derived linker for solid-phase peptide synthesis)

L17 ANSWER 27 OF 34 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:225902 HCAPLUS

DOCUMENT NUMBER: 122:133796

TITLE: Synthesis of new fragments of VP1 protein of the A22 foot-and-mouth disease virus: fragments 134-139, 134-145, 140-145, 150-155, 150-159

AUTHOR(S): Khalikov, Sh. Kh.; Alieva, S. V.; Ashurov, S. G.

CORPORATE SOURCE: Tadzhik State Univ., Dushanbe, Tajikistan

SOURCE: Bioorganicheskaya Khimiya (1994), 20(4), 393-405
CODEN: BIKHD7; ISSN: 0132-3423

PUBLISHER: MAIK Nauka

DOCUMENT TYPE: Journal
LANGUAGE: Russian

AB Fragments 134-145 (H-Gly-Lys-Tyr-Ser-Ala-Gly-Gly-Leu-Gly-Arg-Arg-Gly-OH) and 150-159 (H-Leu-Ala-Ala-Arg-Val-Ala-Lys-Gln-Leu-Pro-OH) of the antigenic region of the VP1 protein of the A22 foot-and-mouth disease virus were synthesized by classical methods of peptide chem. with iso-Bu chloroformate as coupling reagent. After purification by HPLC and amino acid anal., the free peptides were conjugated with BSA by N,N-dicyclohexylcarbodiimide. The conjugates were used, with complete Freund adjuvant, for immunization of guinea pigs. The antibodies formed had virus neutralization activity.

IT 118884-08-5P 118884-10-9P 161007-35-8P
161007-38-1P 161007-47-2P 161007-48-3P
161007-51-8P 161007-52-9P 161007-59-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of fragments of protein of foot-and-mouth disease virus and of their **conjugates** for immunization)

L17 ANSWER 28 OF 34 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1994:483955 HCAPLUS

DOCUMENT NUMBER: 121:83955

TITLE: A novel Fmoc-based anchorage for the synthesis of protected peptide on solid phase

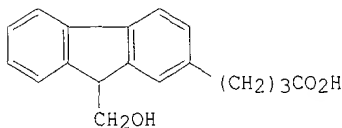
AUTHOR(S): Lin, Wei; Chen, Lan; Liu, Yin-zeng; Niu, Ching-I
CORPORATE SOURCE: Shanghai Inst. Biochem., Chin. Acad. Sci., Shanghai, Peop. Rep. China

SOURCE: Pept.: Biol. Chem., Proc. Chin. Pept. Symp. (1993), Meeting Date 1992, 299-300. Editor(s): Du, Yu-cang; Tam, James P.; Zhang, You-shang. ESCOM: Leiden, Neth. CODEN: 59YOA1

DOCUMENT TYPE: Conference

LANGUAGE: English

GI



AB A report from a symposium on the preparation of 9-fluorenylmethoxycarbonyl (Fmoc)-based 9-(hydroxymethyl)-2-fluorenebutyric acid (I) as a linker for solid-phase peptide synthesis. I was used in the solid-phase preparation of protected rat TGF- α fragment Boc-Val-Val-Ser(CH₂Ph)-His(Tos)-Phe-Asn-Lys(CO₂CH₂C₆H₄Cl-2)-OH (Boc = Me₃CO₂C, Tos = tosyl) using Boc chem.

IT 156251-67-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, via solid-phase methods, (hydroxymethyl)fluorenebutyric acid **linker** in)

L17 ANSWER 29 OF 34 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1994:55012 HCAPLUS

DOCUMENT NUMBER: 120:55012

TITLE: Preparation of peptide with cell adhesion activity and polymeric modification thereof

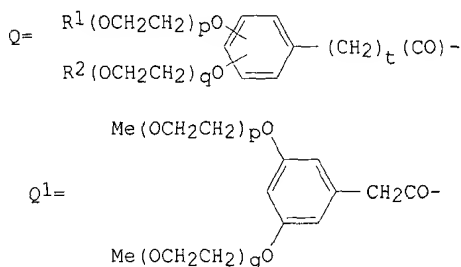
INVENTOR(S): Azuma, Ichiro; Saiki, Ikuo; Kusunose, Naoto; Ikeda, Yoshiharu; Ono, Keiichi

PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan

SOURCE: PCT Int. Appl., 35 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9312140	A1	19930624	WO 1992-JP1594	19921207
W: CA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 05170796	A2	19930709	JP 1991-355319	19911219
JP 3235855	B2	20011204		

PRIORITY APPLN. INFO.: JP 1991-355319 A 19911219
 OTHER SOURCE(S): MARPAT 120:55012
 GI



AB R-(Arg-Gly-Asp-Thr)_n-OH [I; n = 5-20; R = H, polyethylene glycol Q or R3(OCH2CH2)_kO(CO)(CH2)_u(CO); wherein R1, R2, R3 = lower alkyl; k, p, q = any pos. integer to make the average-mol.-weight of the polyethylene glycol portion .apprx.1,000 to .apprx.12,000; t, u = 0, any pos. integer], useful as cancer metastasis, blood platelet aggregation, and bone absorption inhibitors, are prepared Thus, condensation of Boc-Arg(Tos)-Gly-[Asp(OcHex)-Thr(Bzl)-Arg(Tos)-Gly]4-OH (Tos = p-MeC6H4SO2, cHex = cyclohexyl, Bzl = CH2Ph) (preparation given) with H-[Asp(OcHex)-Thr(Bzl)-Arg(Tos)-Gly]6-Asp(OcHex)-Thr(Bzl)-OBzl (preparation given) in the presence of 1-ethyl-2-(3-diethylaminopropyl)carbodiimide hydrochloride and 1-hydroxybenzotriazole in DMF and N-methylpyrrolidinone at 5-10° followed by deprotection with HF in anisole and MeSSEt and purification using reversed phase HPLC gave I (n = 11, R = H) (II). N-acylation of II with hydrocinnamic acid derivative Q1-OSu (Su = N-succinimidyl) (average-mol.-weight .apprx.10,000) in 0.1M borate buffer at room temperature gave, after purification using reversed phase HPLC, a II-polyethylene glycol conjugate I (n = 11, R = Q1) (III). II at 500 µg and III at 40-1,000 µg inhibited the metastasis of B16-BL6 melanoma cells to lungs in mice. Also prepared were I (n = 1,3,5,7,9) and 5 polyethylene glycol conjugates .

IT 152016-42-7 152016-43-8
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (peptide coupling of, in preparation of peptides and their conjugates with polyethylene glycols with cell adhesion activity)

TITLE: Synthesis and structure-activity relationships of elafin, an elastase-specific inhibitor
 AUTHOR(S): Tsunemi, Masahiko; Kato, Hisao; Nishiuchi, Yuji; Kumagaye, Shinichiro; Sakakibara, Shumpei
 CORPORATE SOURCE: Prot. Res. Found., Peptide Inst. Inc., Minoh, 562, Japan
 SOURCE: Biochemical and Biophysical Research Communications (1992), 185(3), 967-73
 CODEN: BBRCA9; ISSN: 0006-291X

DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Elafin, an elastase-specific inhibitor isolated from human skin, and its related peptides were synthesized by the solution procedure, and their inhibitory activities were measured against various enzymes. During the oxidative folding reactions of the reduced peptides, the ratio of the active product to the inactive product was varied by changing the concentration of guanidine-HCl and the amount of redox reagents. The disulfide structures of fully active synthetic elafin and the inactive product were determined by amino acid anal., gas-phase sequencing, and mass spectrometry of their proteolytic fragments. The relation between structure and inhibitory activities and/or the folding reaction was examined and the N-terminal part of the elafin mol. was found to have a great influence on the folding reactions, but not on the inhibitory activities.

IT 142063-35-2 142063-39-6 142063-40-9
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (coupling of, with other peptides)

IT 9004-06-2, Elastase
 RL: PROC (Process)
 (inhibition of, of human leukocytes and pig pancreas, by natural and synthetic elafin and analogs, kinetics of)

IT 144909-44-4P 144922-26-9P 144922-28-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and coupling of, with other peptides)

L17 ANSWER 31 OF 34 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1992:449249 HCAPLUS

DOCUMENT NUMBER: 117:49249

TITLE: Synthesis of elafin, an elastase-specific inhibitor: relationship between inhibitory activity and disulfide structure

AUTHOR(S): Tsunemi, Masahiko; Kato, Hisao; Nishiuchi, Yuji; Kumagaye, Shinichiro; Sakakibara, Shumpei

CORPORATE SOURCE: Prot. Res. Found., Peptide Inst. Inc., Minoh, 562, Japan

SOURCE: Peptide Chemistry (1992), Volume Date 1991, 29th, 43-8
 CODEN: PECHDP; ISSN: 0388-3698

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A symposium report on the total synthesis of elafin, a 57-residue peptide having 8 cysteine residues, by fragment condensations in solution. The starting fragments for the above synthesis were Z-Ala-Gln-Glu(OcHex)-Pro-Val-Lys(ZCl)-Gly-OPac (Z = PhCH2O2C, cHex = cyclohexyl, Pac = phenacyl) (sequence 1-7), Boc-Pro-Val-Ser(Bzl)-Thr(Bzl)-Lys(ZCl)-Pro-Gly-OPac (Boc = Me3CO2C, Bzl = benzyl) (sequence 8-14), Boc-Ser(Bzl)-Cys(Acm)-Pro-Ile-Ile-Leu-OPac (Acm = acetamidomethyl) (sequence 15-20), Boc-Ile-Arg(Tos)-Cys(Acm)-Ala-Met-Leu-OPac (Tos = tosyl) (sequence 21-26), Boc-Asn-Pro-Pro-Asn-Arg(Tos)-Cys(Acm)-Leu-OPac (sequence 27-33), Boc-Lys(ZCl)-Asp(OcHex)-Thr(Bzl)-Asp(OcHex)-Cys(Acm)-Pro-Gly-OPac (sequence 34-40), Boc-Ile-Lys(ZCl)-Lys(ZCl)-Cys(Acm)-Cys(Acm)-Glu(OcHex)-Gly-Ser(Bzl)-Cys(Acm)-Gly-OPac (sequence 41-50) and Boc-Met-Ala-Cys(Acm)-Phe-Val-Pro-Gln-OBzl (sequence 51-57). The relationship between elastase-inhibiting activity and disulfide structure of elafin is

discussed.
 IT 142063-35-2 142063-39-6 142063-40-9
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (as starting material for total synthesis of elafin via fragment
 condensations in solution)
 IT 9004-06-2, Elastase
 RL: PROC (Process)
 (inhibition of, by elafin)

L17 ANSWER 32 OF 34 HCAPLUS COPYRIGHT 2002 ACS
 ACCESSION NUMBER: 1992:152400 HCAPLUS
 DOCUMENT NUMBER: 116:152400
 TITLE: Preparation of functionalized polystyrene-grafted
 supports for bioassays and peptide synthesis
 INVENTOR(S): Berg, Rolf H.; Almdal, Kristoffer; Pedersen, Walther
 Batsberg; Holm, Arne
 PATENT ASSIGNEE(S): Forskningscenter Risoe, Den.
 SOURCE: PCT Int. Appl., 91 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9113098	A1	19910905	WO 1991-DK62	19910304
W: AU, BR, CA, FI, HU, JP, KR, NO, PL, RO, SU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
AU 9174544	A1	19910918	AU 1991-74544	19910304
PRIORITY APPLN. INFO.:			DK 1990-559	19900302
			WO 1991-DK62	19910304

AB Polymers grafted with functionalized polystyrene chains of mol. weight
 >200,000 capable of covalent linking with amino acids, peptides, or
 proteins were prepared. Thus, low-d. polyethylene sheet and purified styrene
 in an ampoule were irradiated with γ -rays from a cobalt source at
 .apprx.400 Gy/h for 0.95-5.6 h to give 55-547% grafted material.
 Rectangular strips (1.5 + 4.5 cm) of 443% polystyrene-grafted
 polyethylene were aminomethylated by treatment with N-
 (hydroxymethyl)phthalimide in CH₂Cl₂/F₃CCO₂H/F₃CSO₃H followed by
 hydrazinolysis to give material having 1.00 mmol NH₂/g. The
 aminomethylated material was used for preparation of, e.g., melittin-(7-21) and
 analogs using Me₃CO₂C-protected amino acids and double DCC coupling, and
 in preparation of "immunosticks" for Elisa detection of angiotensin II.
 IT 139644-88-5DP, aminomethylated polystyrene-grafted polyethylene
 bound 139663-60-8DP, aminomethylated polystyrene-grafted
 polyethylene bound
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and resin cleavage reaction of)
 IT 9002-88-4D, Polyethylene, functionalized, polystyrene-grafted
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (support, for bioassays and peptide synthesis)

L17 ANSWER 33 OF 34 HCAPLUS COPYRIGHT 2002 ACS
 ACCESSION NUMBER: 1988:146058 HCAPLUS
 DOCUMENT NUMBER: 108:146058
 TITLE: Anti-chymotrypsin and anti-elastase activities of a
 synthetic bicyclic fragment containing a
 chymotrypsin-reactive site of soybean Bowman-Birk
 inhibitor
 AUTHOR(S): Ando, Shoji; Yasutake, Akira; Waki, Michinori;
 Nishino, Norikazu; Kato, Tetsuo; Izumiya, Nobuo

CORPORATE SOURCE: Fac. Sci., Kyushu Univ., Fukuoka, 812, Japan
 SOURCE: Biochimica et Biophysica Acta (1987), 916(3), 527-31
 CODEN: BBACAQ; ISSN: 0006-3002

DOCUMENT TYPE: Journal
 LANGUAGE: English

AB A bicyclic hexadecapeptide, which corresponds to the sequence 36-51 and contains the chymotrypsin-reactive Leu-43-Ser-44 bond of soybean Bowman-Birk inhibitor, was synthesized. This peptide consists of 2 loops formed by SS bridges between cysteine (Cys)-36 and Cys-51 and between Cys-41 and Cys-49. The bicyclic peptide showed a strong anti-chymotryptic activity with a $K_i = 7.1 + 10^{-7}M$. Comparison of inhibitory activity and digestive stability against chymotrypsin with other hexadecapeptides having the same sequence but lacking 1 or both SS bridges suggested that the compact bicyclic structure increases the activity and protects the Leu-Ser bond from chymotryptic digestion. Interestingly, the bicyclic peptide was found to inhibit porcine pancreatic elastase with a $K_i = 4.3 + 10^{-5}M$, indicating the broad specificity of this ring system.

IT 9004-06-2, Elastase

RL: PROC (Process)

(inhibition of, by Bowman-Birk inhibitor analog, kinetics of)

IT 113739-34-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deprotection and cyclization of)

IT 113739-32-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction with peptide derivative)

IT 113739-30-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of and reaction with peptide derivative)

IT 113739-28-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, with peptide derivative)

L17 ANSWER 34 OF 34 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1987:513867 HCAPLUS

DOCUMENT NUMBER: 107:113867

TITLE: Synthesis of conjugates between luteinizing hormone releasing hormone (LH-RH) and N-acetyl-muramyl-L-alanyl-D-isoglutamine (MDP) models of totally synthetic vaccines

AUTHOR(S): Bernard, J. M.; Gras-Masse, H.; Drobecq, H.; Tartar, A.; Lefrancier, P.; Hosmalin, A.; Carelli, C.; Chedid, L.

CORPORATE SOURCE: Choay Inst., Montrouge, F-92120, Fr.

SOURCE: International Journal of Peptide & Protein Research (1987), 29(4), 455-63

CODEN: IJPPC3; ISSN: 0367-8377

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 107:113867

AB Two glycopeptides associating the amino acid sequence of LH-RH with MDP were prepared, using a Lys residue as a linker. These conjugates, $N\alpha$ -MDP- $N\epsilon$ -(LH-RH)-Lys and $N\alpha$ -MDP- $N\epsilon$ -(LH-RH)-Lys-NH₂, obtained by condensation of fragments were synthesized by liquid- as well as solid-phase methods. Both compds. were able to induce anti LH-RH antibodies and immunol.-induced castration. They retained the immune adjuvant activity of MDP. Such antigen-adjuvant constructs, devoid of carrier and obtained by chem. defined and reproducible synthetic methods, could offer suitable tools for structure-activity relationship studies aiming at defining synthetic vaccines.

IT 99087-75-9P

RL: RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(preparation and coupling of, with muramyl dipeptide-peptide
conjugate)

=> sel hit rn
E1 THROUGH E81 ASSIGNED

=> file reg
FILE 'REGISTRY' ENTERED AT 10:34:24 ON 12 DEC 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 11 DEC 2002 HIGHEST RN 475975-25-8
DICTIONARY FILE UPDATES: 11 DEC 2002 HIGHEST RN 475975-25-8

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP
PROPERTIES for more information. See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=> => d his 118

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SEL HIT RN

FILE 'REGISTRY' ENTERED AT 10:34:24 ON 12 DEC 2002
L18 74 S E1-E81 AND L3

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4	RN	360781-19-7	REGISTRY
5	RN	360781-12-0	REGISTRY
6	RN	360781-10-8	REGISTRY
7	RN	360780-56-9	REGISTRY
8	RN	304011-42-5	REGISTRY
9	RN	304011-41-4	REGISTRY
10	RN	271794-98-0	REGISTRY
11	RN	271794-97-9	REGISTRY
12	RN	256398-75-1	REGISTRY
13	RN	256391-34-1	REGISTRY
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15	RN	249589-49-9	REGISTRY
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43	RN	189513-02-8	REGISTRY
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45	RN	170645-97-3	REGISTRY
46	RN	170645-96-2	REGISTRY
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71	RN	113739-32-5	REGISTRY
72	RN	113739-30-3	REGISTRY
73	RN	113739-28-9	REGISTRY
74	RN	99087-75-9	REGISTRY

=> d ide can 118 1 5 10 15 20 25 30 35 40 45 50 55 60 65 70 74

L18 ANSWER 1 OF 74 REGISTRY COPYRIGHT 2002 ACS

RN 408502-26-1 REGISTRY

CN L-Leucine, (4R)-1-acetyl-4-(phenylmethoxy)-L-prolyl-L-alanyl-O-(phenylmethyl)-L-seryl-2-cyclohexylglycyl-L-glutaminy-L-seryl- (9CI) (CA INDEX NAME)

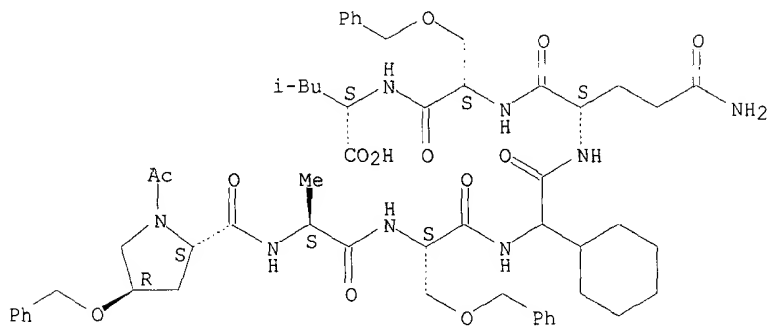
FS PROTEIN SEQUENCE; STEREOSEARCH

MF C56 H76 N8 O13

SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1962 TO DATE)
2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
3 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 136:310188

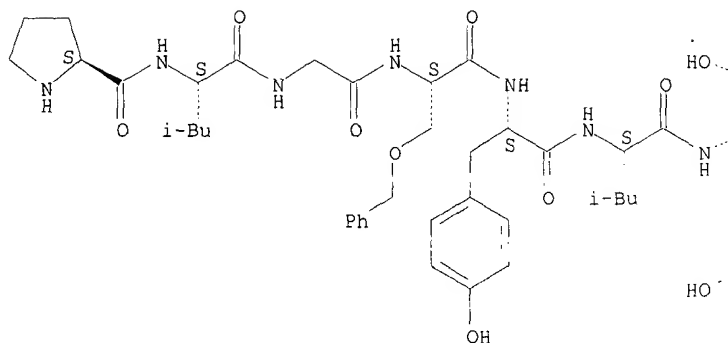
REFERENCE 2: 136:310187

L18 ANSWER 5 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN 360781-12-0 REGISTRY
CN 5,12-Naphthacenedione, 7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-10-[[2,3,6-trideoxy-3-[[L-prolyl-L-leucylglycyl-O-(phenylmethyl)-L-seryl-L-tyrosyl-L-leucyl]amino]-α-L-lyxo-hexopyranosyl]oxy]-, (8S,10S)- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C65 H81 N7 O19
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

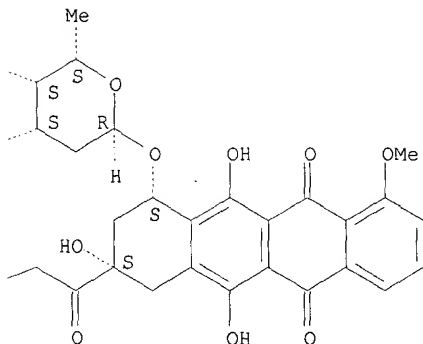
RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

PAGE 1-A



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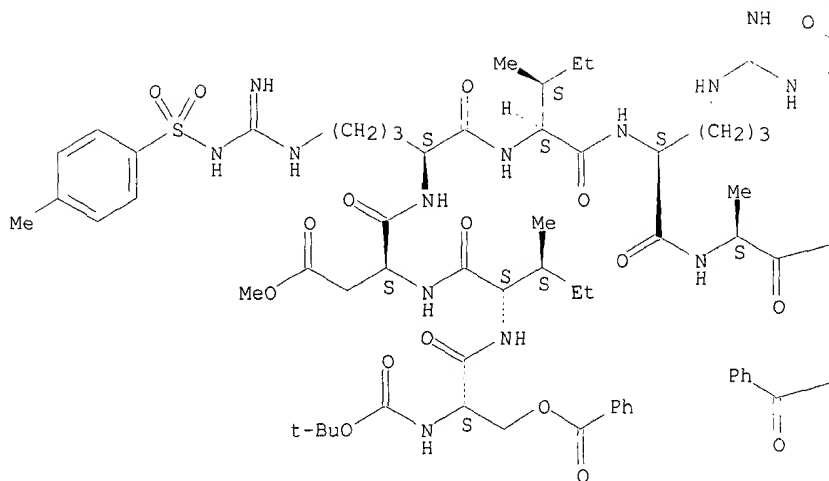
1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 135:273218

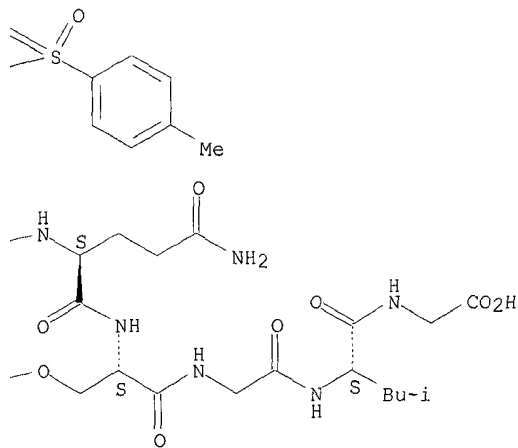
L18 ANSWER 10 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN 271794-98-0 REGISTRY
CN Glycine, O-benzoyl-N-[(1,1-dimethylethoxy)carbonyl]-L-seryl-L-isoleucyl-L-
α-aspartyl-N5-[imino[[(4-methylphenyl)sulfonyl]amino]methyl]-L-
ornithyl-L-isoleucyl-N5-[imino[[(4-methylphenyl)sulfonyl]amino]methyl]-L-
ornithyl-L-alanyl-L-glutamyl-O-benzoyl-L-serylglycyl-L-leucyl-, 3-methyl
ester (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C86 H123 N19 O26 S2
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

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1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

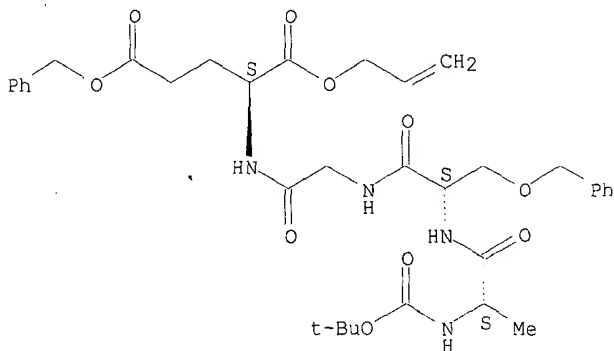
REFERENCE 1: 133:17802

L18 ANSWER 15 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN 249589-49-9 REGISTRY
CN L-Glutamic acid, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-O-(phenylmethyl)-L-serylglycyl-, 45-(phenylmethyl) 41-(2-propenyl) ester (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C35 H46 N4 O10

SR CA
LC STN Files: CA, CAPLUS

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 131:322896

L18 ANSWER 20 OF 74 REGISTRY COPYRIGHT 2002 ACS

RN 246849-57-0 REGISTRY

CN L-Aspartic acid, S-[(acetylamino)methyl]-N-[(1,1-dimethylethoxy)carbonyl]-L-cysteinyl-S-[(4-methoxyphenyl)methyl]-L-cysteinyl-L-phenylalanyl-N5-[imino[[[(4-methylphenyl)sulfonyl]amino]methyl]-L-ornithyl-O-(phenylmethyl)-L-seryl-S-[(4-methoxyphenyl)methyl]-L-cysteinyl-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

MF C83 H101 N11 O18 S4

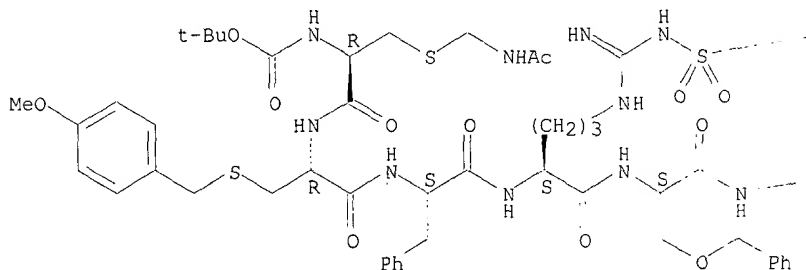
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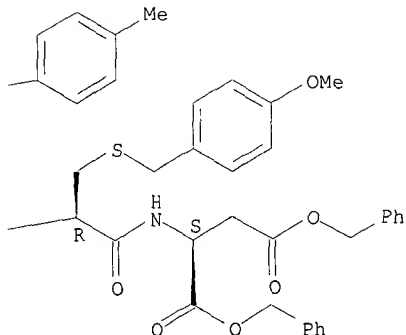
LC STN Files: CA, CAPLUS

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry. Rotation (-).

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1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 131:286798

L18 ANSWER 25 OF 74 REGISTRY COPYRIGHT 2002 ACS

RN 246849-52-5 REGISTRY

CN L-Aspartic acid, N5-[imino[[[4-methylphenyl)sulfonyl]amino]methyl]-L-ornithyl-O-(phenylmethyl)-L-seryl-S-[(4-methoxyphenyl)methyl]-L-cysteinyl-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

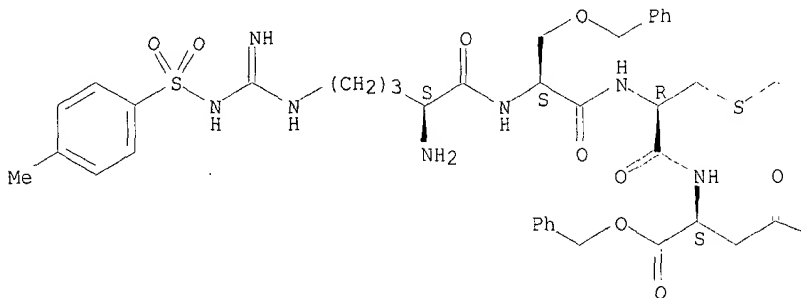
MF C52 H61 N7 O11 S2

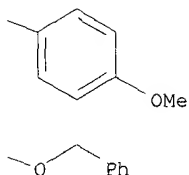
SR CA

LC STN Files: CA, CAPLUS

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.





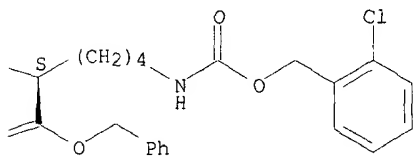
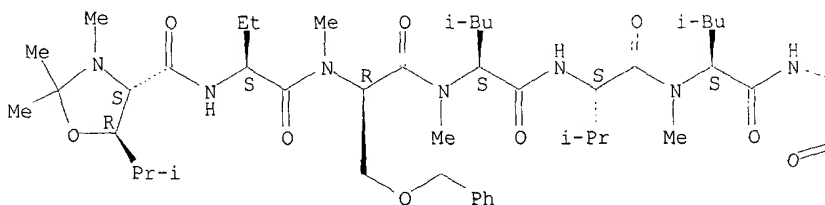
1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 131:286798

L18 ANSWER 30 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN 220871-28-3 REGISTRY
CN L-Lysine, (4S,5R)-2,2,3-trimethyl-5-(1-methylethyl)-4-oxazolidinecarbonyl-(2S)-2-aminobutanoyl-N-methyl-O-(phenylmethyl)-D-seryl-N-methyl-L-leucyl-L-valyl-N-methyl-L-leucyl-N6-[[[(2-chlorophenyl)methoxy]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C65 H97 Cl N8 O12
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry. Rotation (-).



2 REFERENCES IN FILE CA (1962 TO DATE)
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 130:209984

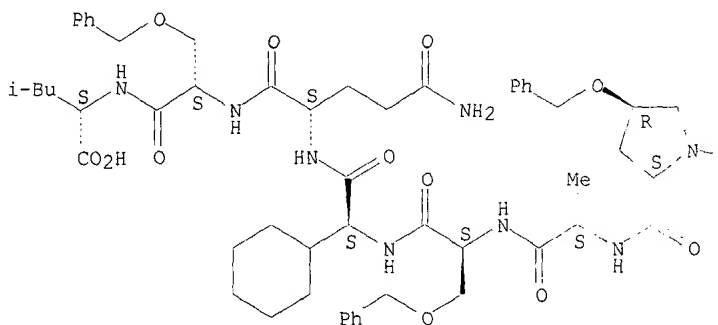
REFERENCE 2: 130:209983

L18 ANSWER 35 OF 74 REGISTRY COPYRIGHT 2002 ACS
 RN 207395-91-3 REGISTRY
 CN L-Leucine, (4R)-1-[(9H-fluoren-9-ylmethoxy)carbonyl]-4-(phenylmethoxy)-L-prolyl-L-alanyl-O-(phenylmethyl)-L-seryl-(2S)-2-cyclohexylglycyl-L-glutaminy-O-(phenylmethyl)-L-seryl- (9CI) (CA INDEX NAME)
 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C69 H84 N8 O14
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

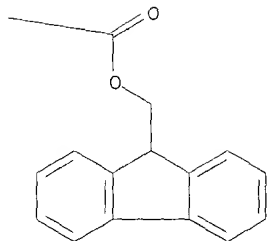
RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

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1 REFERENCES IN FILE CA (1962 TO DATE)
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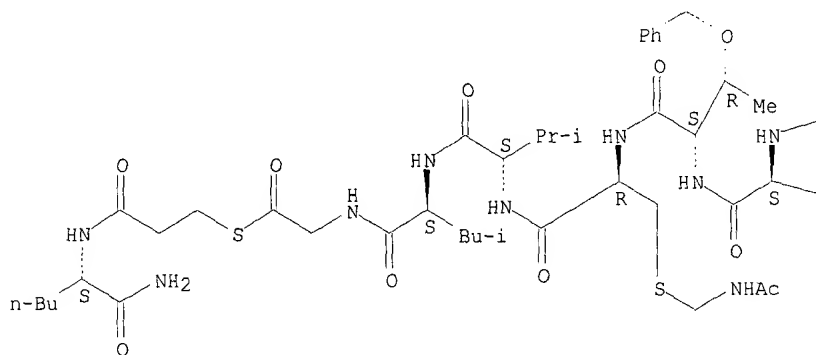
REFERENCE 1: 129:4866

L18 ANSWER 40 OF 74 REGISTRY COPYRIGHT 2002 ACS
 RN 201530-30-5 REGISTRY
 CN Glycine, L-leucyl-O-(phenylmethyl)-L-seryl-O-(phenylmethyl)-L-threonyl-S-
 [(acetylamino)methyl]-L-cysteinyl-L-valyl-L-leucylthio-,
 S-[3-[[[(1S)-1-(aminocarbonyl)pentyl]amino]-3-oxopropyl] ester (9CI) (CA
 INDEX NAME)
 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C55 H86 N10 O12 S2
 SR CA
 LC STN Files: CA, CAPLUS

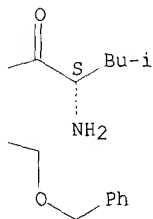
RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

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PAGE 1-B



2 REFERENCES IN FILE CA (1962 TO DATE)
 2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
 2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 130:153953

REFERENCE 2: 128:115219

L18 ANSWER 45 OF 74 REGISTRY COPYRIGHT 2002 ACS
 RN 170645-97-3 REGISTRY
 CN L-Tyrosine, N-[N-[N2-[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-isoleucyl]-

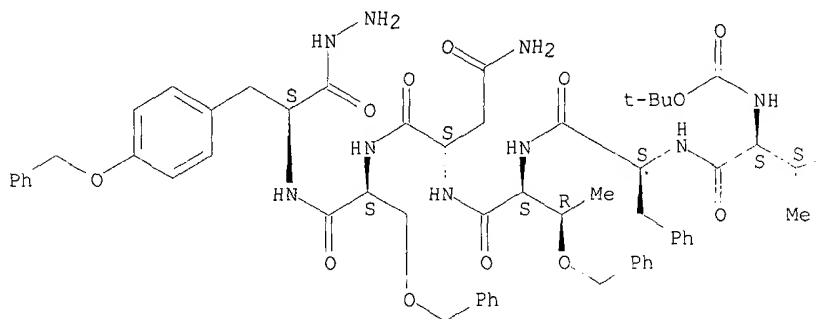
L-phenylalanyl]-O-(phenylmethyl)-L-threonyl]-L-asparaginyll]-O-(phenylmethyl)-L-seryl]-O-(phenylmethyl)-, hydrazide (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH
MF C61 H77 N9 O12
SR CA
LC STN Files: CA, CAPLUS

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

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1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

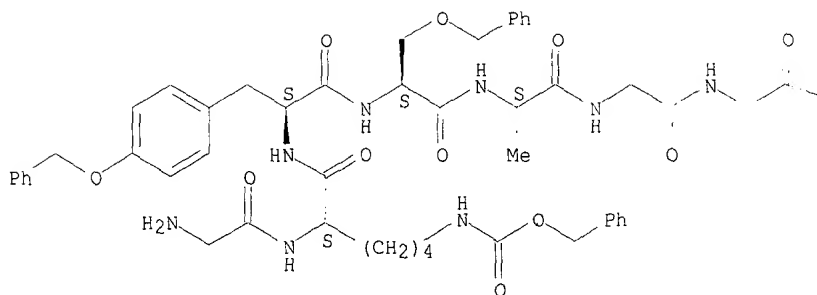
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L18 ANSWER 50 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN 161007-52-9 REGISTRY
CN Glycine, N-[N2-[N2-[N-[N-[N-[N-[N-[N2-glycyl-N6-
[(phenylmethoxy)carbonyl]-L-lysyl]-O-(phenylmethyl)-L-tyrosyl]-O-(phenylmethyl)-L-seryl]-L-alanyl]glycyl]glycyl]-L-leucyl]glycyl]-N5-[imino(nitroamino)methyl]-L-ornithyl]-N5-[imino(nitroamino)methyl]-L-ornithyl]-, monohydrochloride (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C71 H99 N21 O21 . Cl H
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LC STN Files: CA, CAPLUS

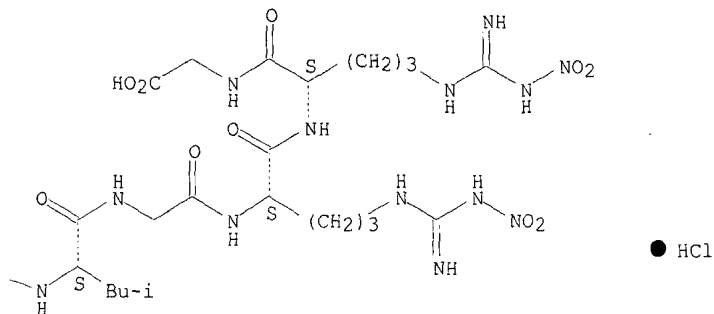
RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

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1 REFERENCES IN FILE CA (1962 TO DATE)

1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 122:133796

L18 ANSWER 55 OF 74 REGISTRY COPYRIGHT 2002 ACS

RN 161007-35-8 REGISTRY

CN Glycine, N-[N-[N-[N2-[N-[(1,1-dimethylethoxy)carbonyl]glycyl]-N6-
[(phenylmethoxy)carbonyl]-L-lysyl]-O-(phenylmethyl)-L-tyrosyl]-O-
(phenylmethyl)-L-seryl]-L-alanyl]-, methyl ester (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

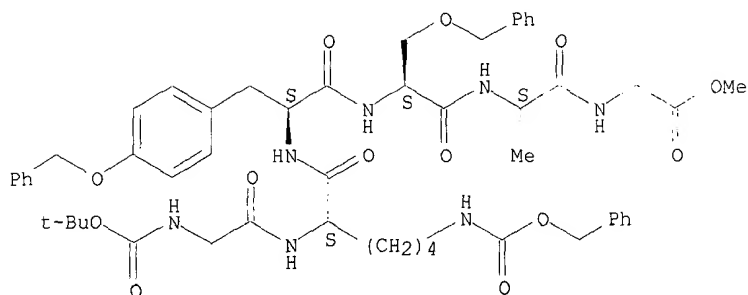
MF C53 H67 N7 O13

SR CA

LC STN Files: CA, CAPLUS

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 122:133796

L18 ANSWER 60 OF 74 REGISTRY COPYRIGHT 2002 ACS

RN 144922-26-9 REGISTRY

CN Glycine, N-[(phenylmethoxy)carbonyl]-L-alanyl-L-glutaminyl-L- α -glutamyl-L-prolyl-L-valyl-N6-[[[(2-chlorophenyl)methoxy]carbonyl]-L-lysylglycyl-L-prolyl-L-valyl-O-(phenylmethyl)-L-seryl-O-(phenylmethyl)-L-threonyl-N6-[[[(2-chlorophenyl)methoxy]carbonyl]-L-lysyl-L-prolyl-, 3-cyclohexyl 14-(2-oxo-2-phenylethyl) ester (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

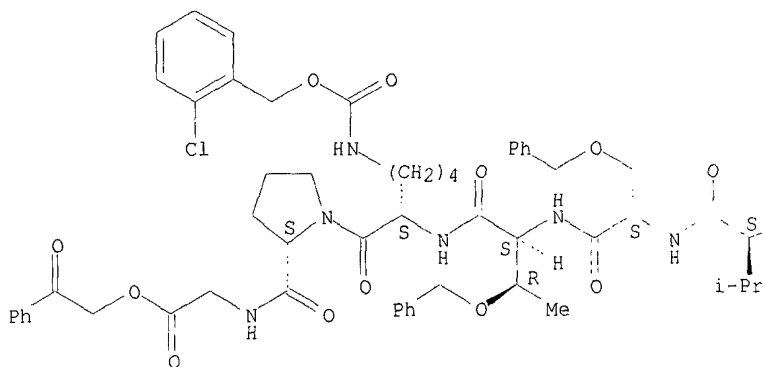
MF C113 H147 C12 N17 O27

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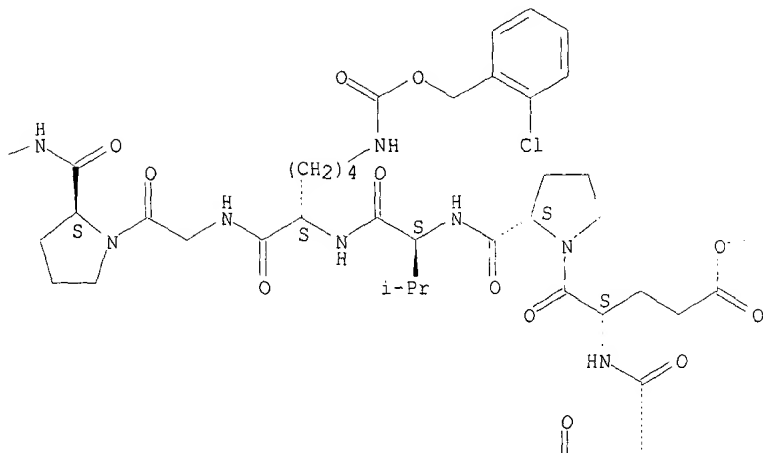
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

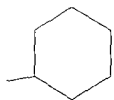
PAGE 1-A



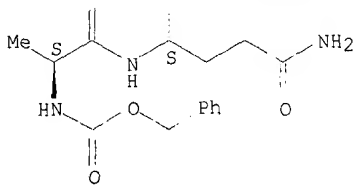
PAGE 1-B



PAGE 1-C



PAGE 2-B



1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPUS (1962 TO DATE)

REFERENCE 1: 118:250338

L18 ANSWER 65 OF 74 REGISTRY COPYRIGHT 2002 ACS

RN 141340-59-2 REGISTRY

CN Glycine, N-[N-[N-[N6-[[(2-chlorophenyl)methoxy]carbonyl]-N2-[N-[N-[N-[N-
[(1,1-dimethylethoxy)carbonyl]-L- α -aspartyl]-L- α -aspartyl]-O-
[phenylmethyl]-L-threonyl]-L-methionyl]-L-lysyl]-L- α -aspartyl]-L-
alanyl]-, 4,4',4''-tricyclohexyl ester (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

MF C70 H102 C1 N9 O20 S

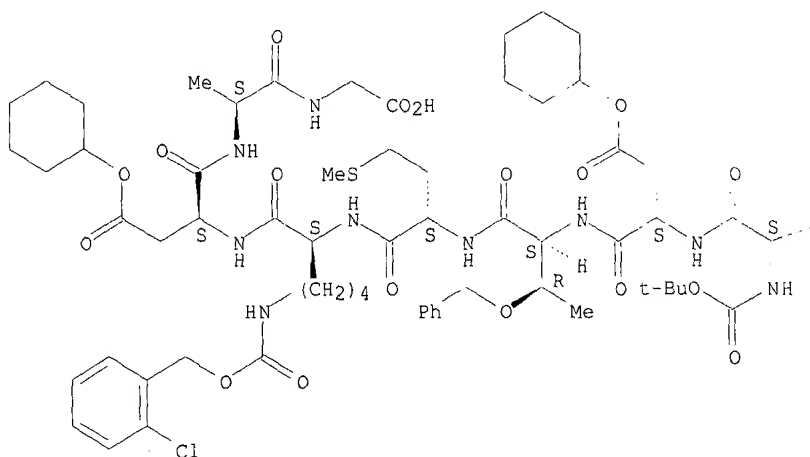
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LC STN Files: CA, CAPLUS

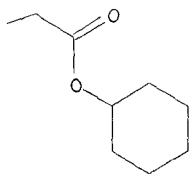
RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



2 REFERENCES IN FILE CA (1962 TO DATE)
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 122:214517

REFERENCE 2: 116:236110

L18 ANSWER 70 OF 74 REGISTRY COPYRIGHT 2002 ACS

RN 113739-34-7 REGISTRY

CN L-Cysteinamide, N-acetyl-S-[(4-methoxyphenyl)methyl]-L-cysteinyl-N6-
[(phenylmethoxy)carbonyl]-L-lysyl-O-(phenylmethyl)-L-seryl-L-alanyl-L-
isoleucyl-S-[(acetylamino)methyl]-L-cysteinyl-L-alanyl-L-leucyl-L-seryl-L-
tyrosyl-L-prolyl-L-alanyl-L-glutamyl-S-[(acetylamino)methyl]-L-cysteinyl-
L-phenylalanyl-S-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

MF C112 H155 N21 O27 S4

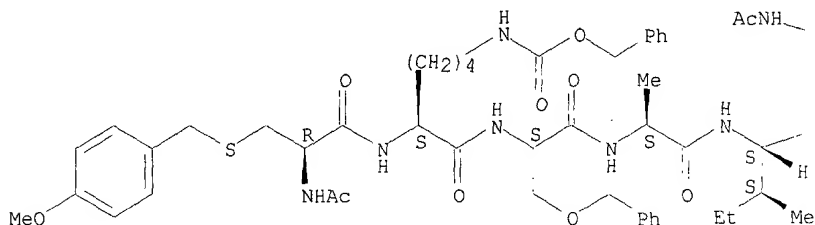
SR CA

LC STN Files: .CA, CAPLUS

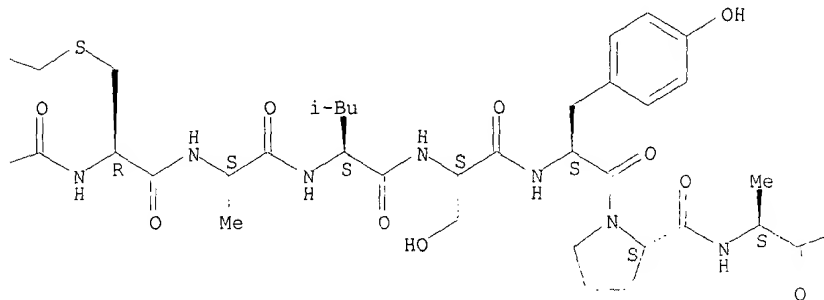
RELATED SEQUENCES AVAILABLE WITH SEQLINK

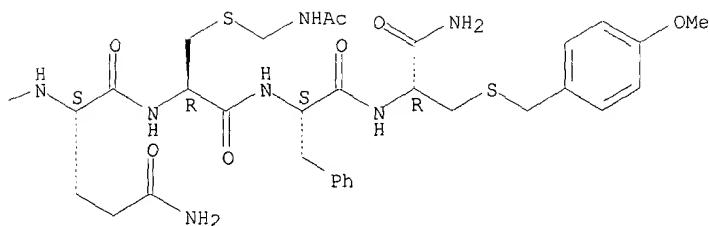
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B





2 REFERENCES IN FILE CA (1962 TO DATE)
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 110:24288

REFERENCE 2: 108:146058

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RN **99087-75-9** REGISTRY

CN Glycine, N-[N-[N-[N-[N-(5-oxo-L-prolyl)-1-[(phenylmethoxy)methyl]-L-histidyl]-L-tryptophyl]-O-(phenylmethyl)-L-seryl]-O-(phenylmethyl)-L-tyrosyl]- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

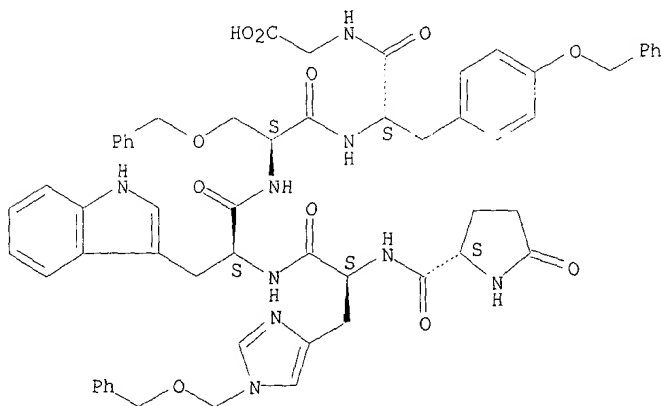
MF C58 H61 N9 O11

SR CA

LC STN Files: CA, CAPLUS

****RELATED SEQUENCES AVAILABLE WITH SEQLINK****

Absolute stereochemistry.



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2 REFERENCES IN FILE CA (1962 TO DATE)
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 107:113867

REFERENCE 2: 104:51096